



Docket No.: 7872-027-999

Serial No.: 08/487,355

Inventor(s): Barney et al.

Title: "METHODS FOR INHIBITION OF MEMBRANE FUSION-ASSOCIATED  
EVENTS, INCLUDING HEPATITIS B VIRUS TRANSMISSION"

HIV1LAI (DP-178; SEQ ID NO.:1)	YTSLIHSLIEESQNQKEKNEQELLELDKWASLWNWF
HIV1SF2 (DP-185; SEQ ID NO.:3)	YTNTIYTLLEESQNQKEKNEQELLELDKWASLWNWF
HIV1RF (SEQ ID NO.:4)	YTGIYNNLLEESQNQKEKNEQELLELDKWANLWNWF
HIV1MN (SEQ ID NO.:5)	YTSLIYSLLEKSQTQKEKNEQELLELDKWASLWNWF
HIV2R0D (SEQ ID NO.:6)	LEANISKSLEQAQIQKEKNMYELQKLSWDIFGNWF
HIV2NIHZ (SEQ ID NO.:7)	LEANISQSLEQAQIQKEKNMYELQKLSWDVFTNWL
DP180 (SEQ ID NO.:2)	SSESFTLLEQWNNWKLQLAEQWLEQINEKHYLEDIS
DP118 (SEQ ID NO.:10)	QQLLDVVKRQQEMLRLTVWGTKNLQARVTAIEKYLKDQ
DP125 (SEQ ID NO.:8)	CGGNLLRAIEAQQHLLQLTVWGIKQLQARILAVERYLKDQ
DP116 (SEQ ID NO.:9)	LQARILAVERYLKDQQQ

FIG.1

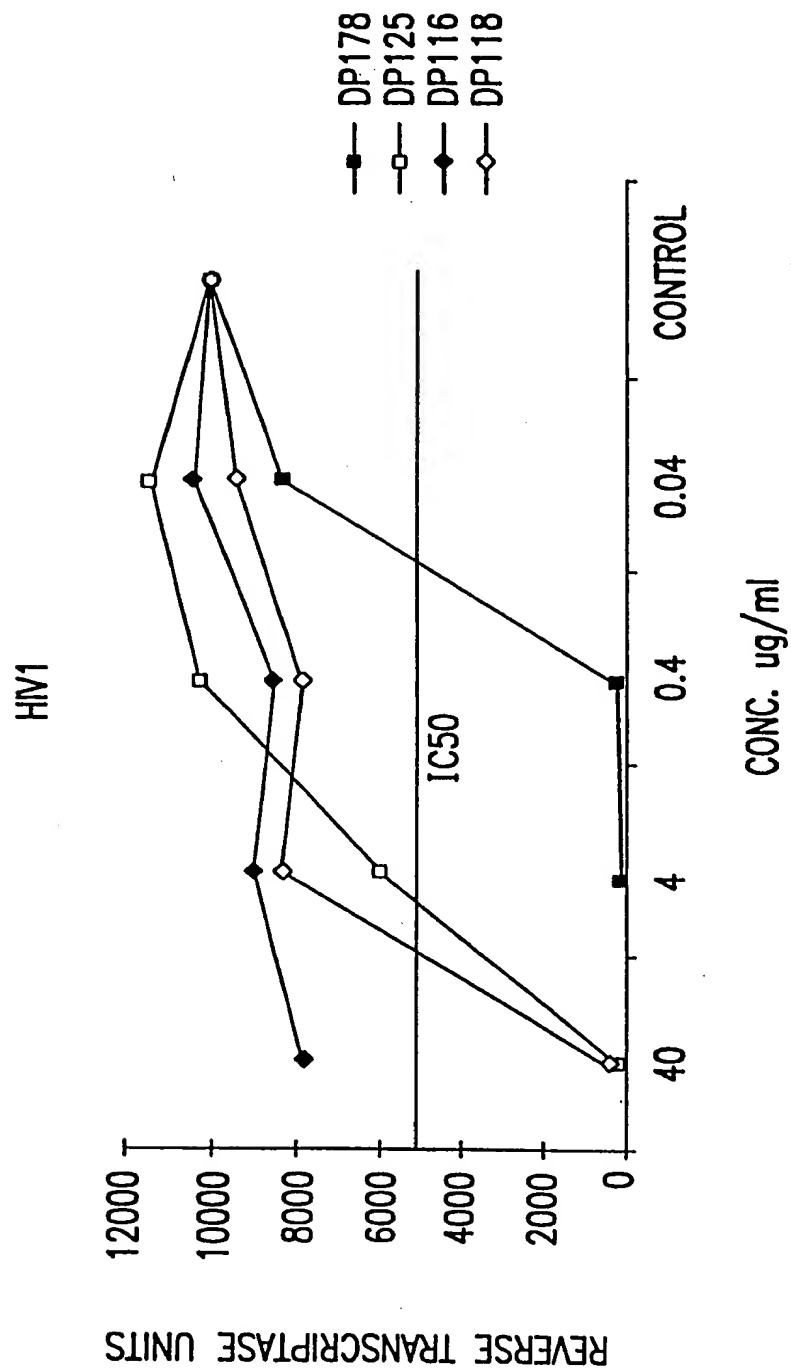


FIG.2

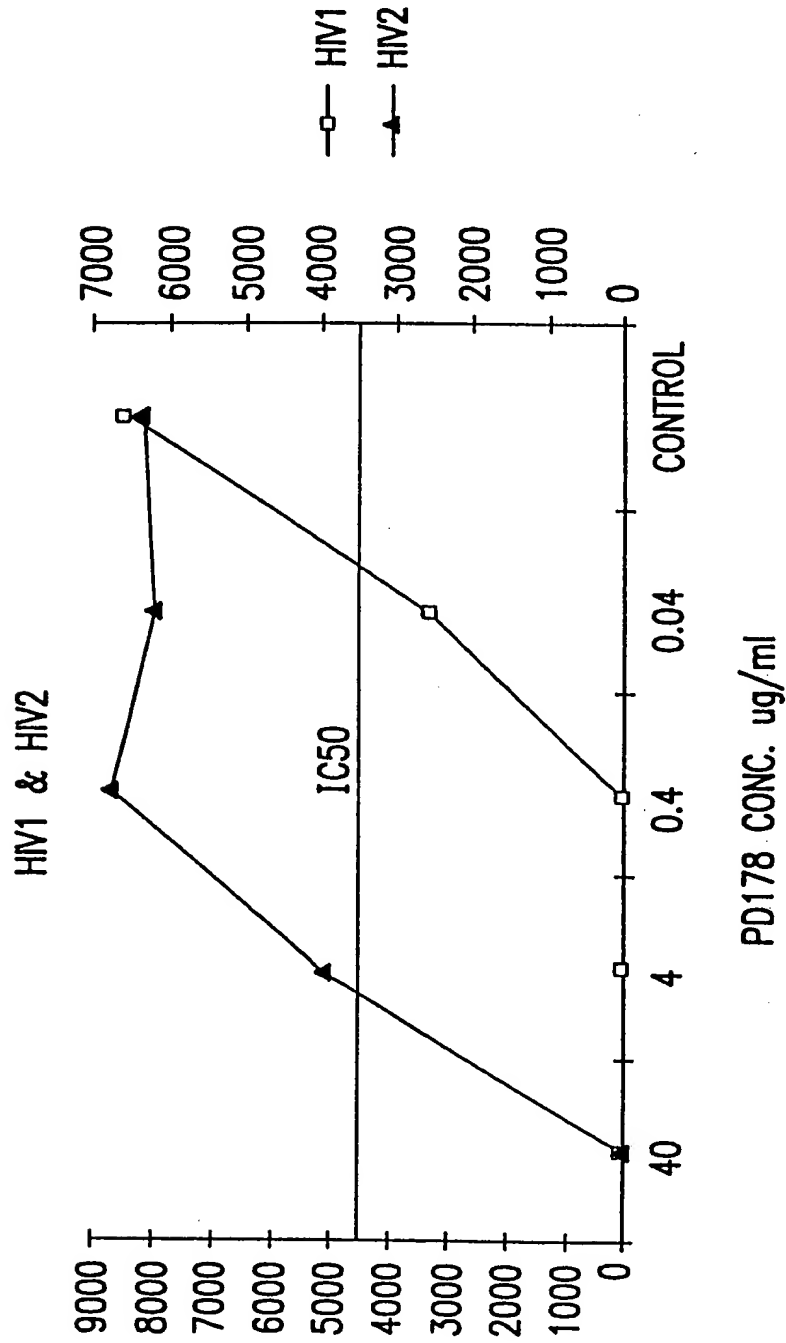


FIG.3



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Number of Syncytia/well: concentration in $\mu\text{g/ml}$ (micrograms/ml)									
DP178	10	5	1	0.2	0.1	0.05	0.025	0.0125	Control
<u>Syncytia</u>									
HIV1LAT	0	0	0	0	0	0	0	0	67
HIV1MN	0	0	0	0	0	ND	ND	ND	34
HIV1RF	0	0	0	0	0	ND	ND	ND	65
HIV1SF2	0	0	0	0	0	ND	ND	ND	58
DP125	10	5	1	0.2	0.1	0.05	0.025	0.0125	Control
<u>Syncytia</u>									
HIV1LAT	0	0	54	69	80	75	79	82	67
HIV1MN	0	0	30	36	ND	ND	ND	ND	34
HIV1RF	0	0	67	63	ND	ND	ND	ND	65
HIV1SF2	0	0	9	66	ND	ND	ND	ND	58
DP116	10	5	1	0.2	0.1	0.05	0.025	0.0125	Control
<u>Syncytia</u>									
HIV1LAT	75	ND	ND	ND	ND	ND	ND	ND	67
HIV1MN	35	ND	ND	ND	ND	ND	ND	ND	34
HIV1RF	81	ND	ND	ND	ND	ND	ND	ND	65
HIV1SF2	81	ND	ND	ND	ND	ND	ND	ND	58

FIG.4A

DP180	40	20	10	5	2.5	1.25	0.625	0.3125	Control
<u>Syncytia</u>									
HIV1LAT	50	>45	>45	>45	>45	>45	>45	>45	58
DP185	40	20	10	5	2.5	1.25	0.625	0.3125	Control
<u>Syncytia</u>									
HIV1LAT	0	0	0	0	0	0	0	ND	60

FIG.4B



<u>HIV1</u>								
Number of Syncytia/well: concentration in ng/ml (nanograms/ml)								
DP178	20	10	5	2.5	1.25	0.625	0.3125	Control
<u>Syncytia</u>								
HIV1	0	0	0	0	0	14	20	48
DP116	20	10	5	2.5	1.25	0.625	0.3125	Control
<u>Syncytia</u>								
HIV1	ND	48	ND	ND	ND	ND	ND	ND
<u>HIV2</u>								
Number of Syncytia/well: concentration in $\mu$ g/ml (micrograms/ml)								
DP178	20	10	5	2.5	1.25	0.625	0.3125	Control
<u>Syncytia</u>								
HIV2	50	54	55	57	63	77	78	76
DP116	20	10	5	2.5	1.25	0.625	0.3125	Control
<u>Syncytia</u>								
HIV2	ND	58	ND	ND	ND	ND	ND	ND

FIG.5



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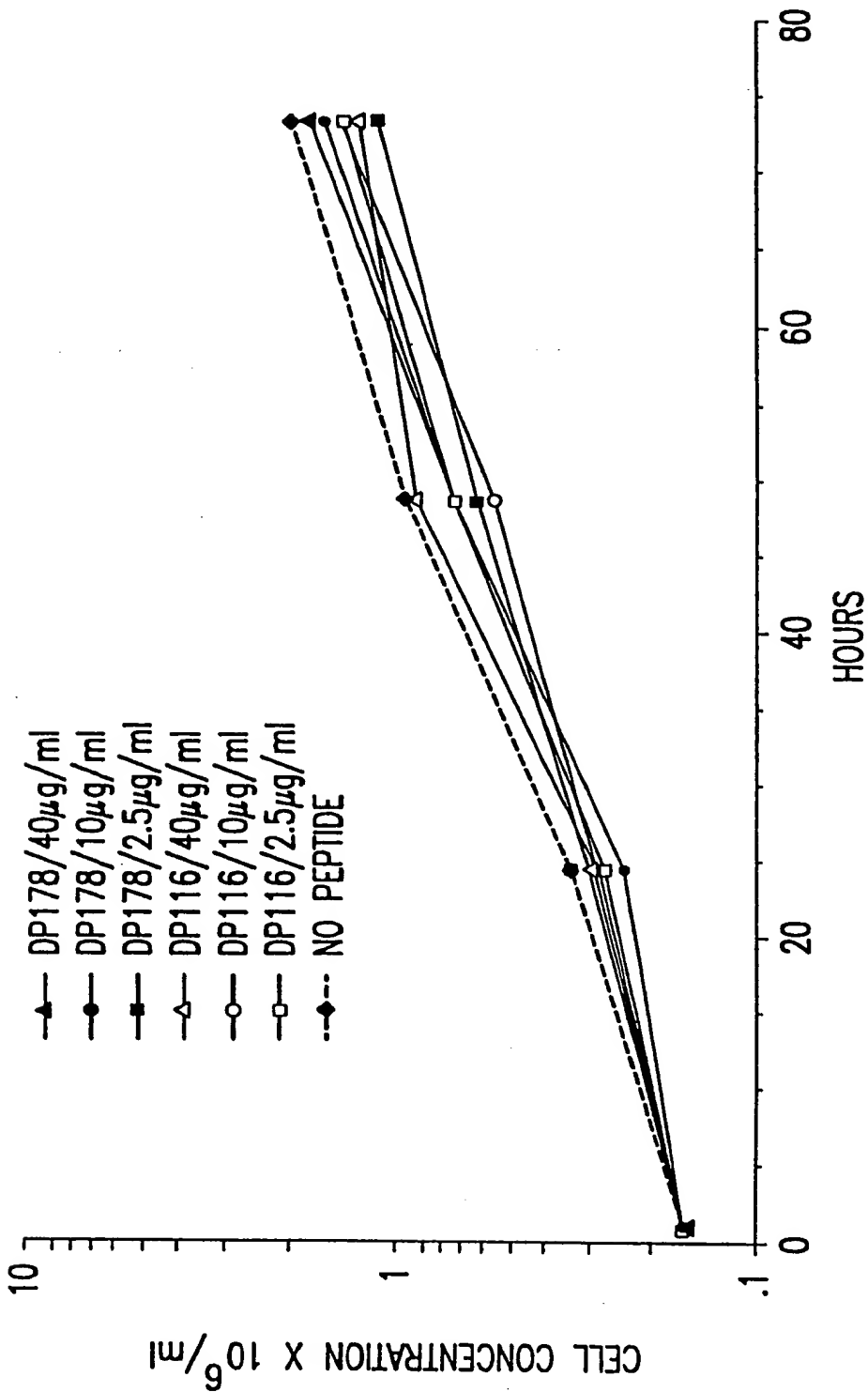


FIG.6



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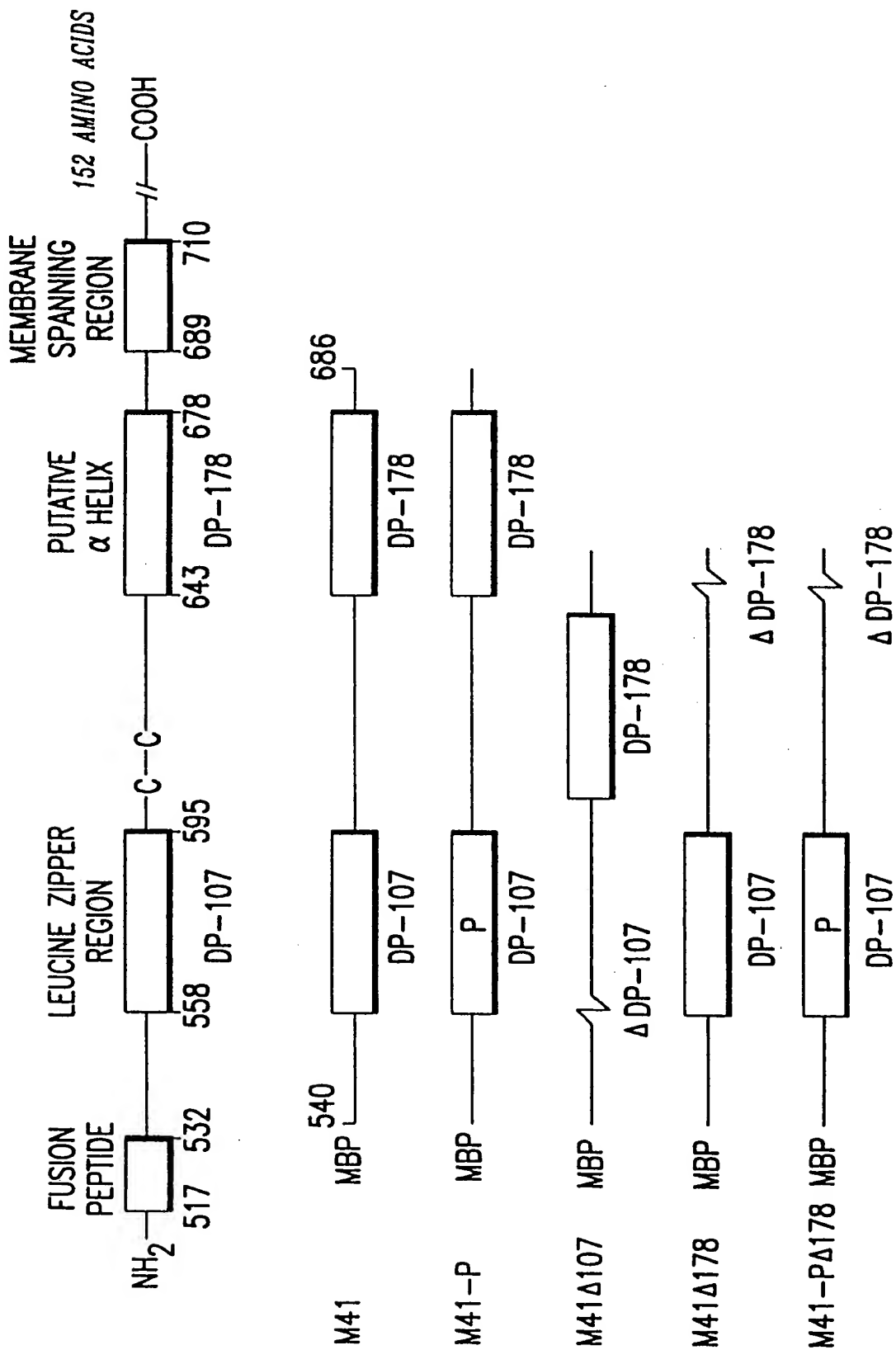


FIG.7

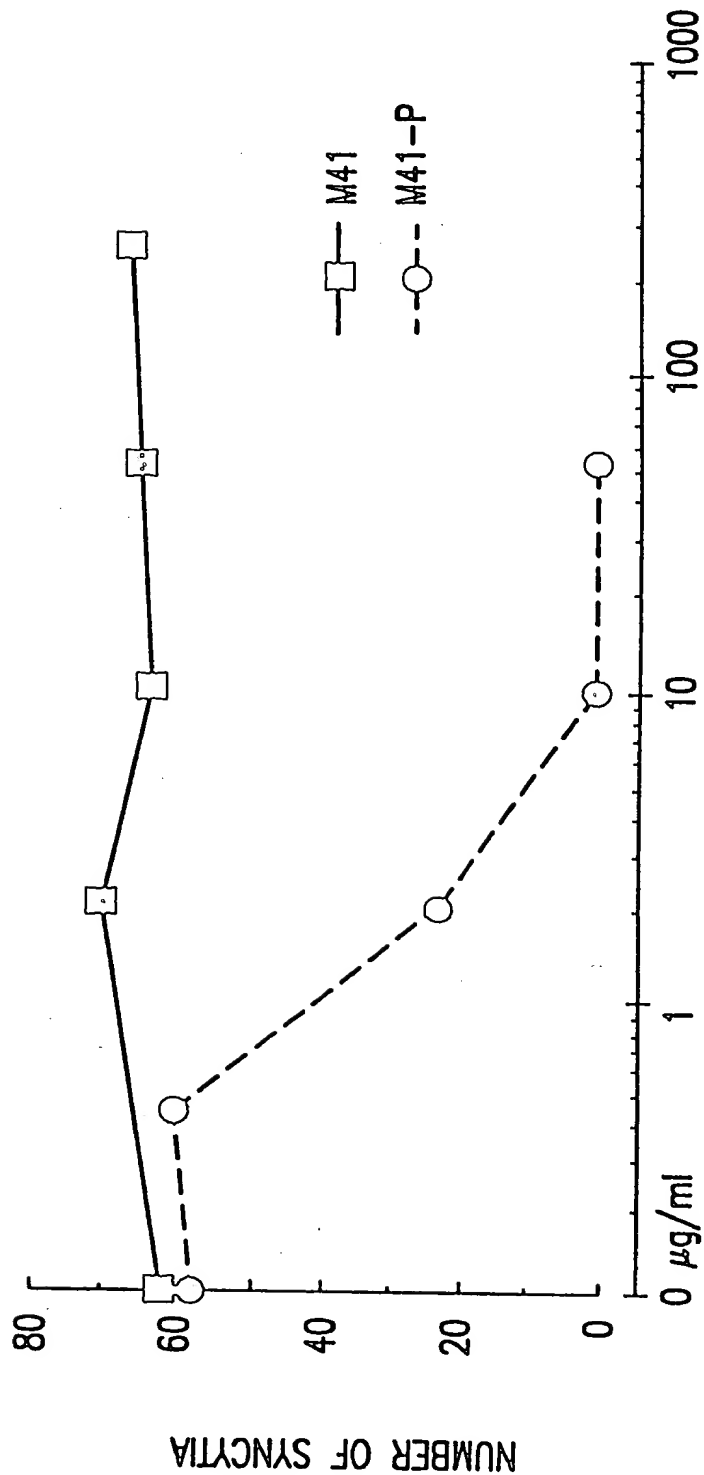


FIG.8





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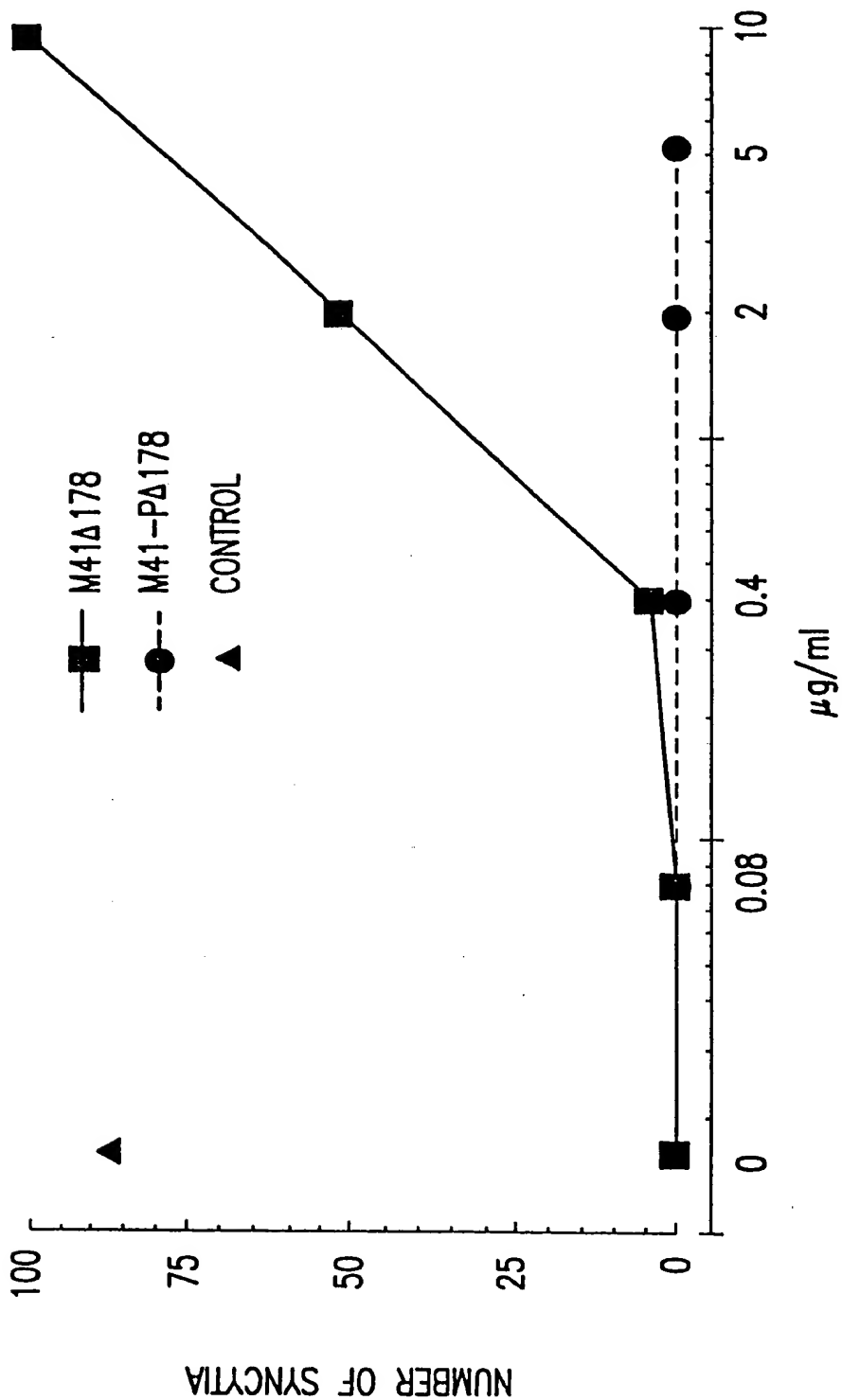


FIG.9

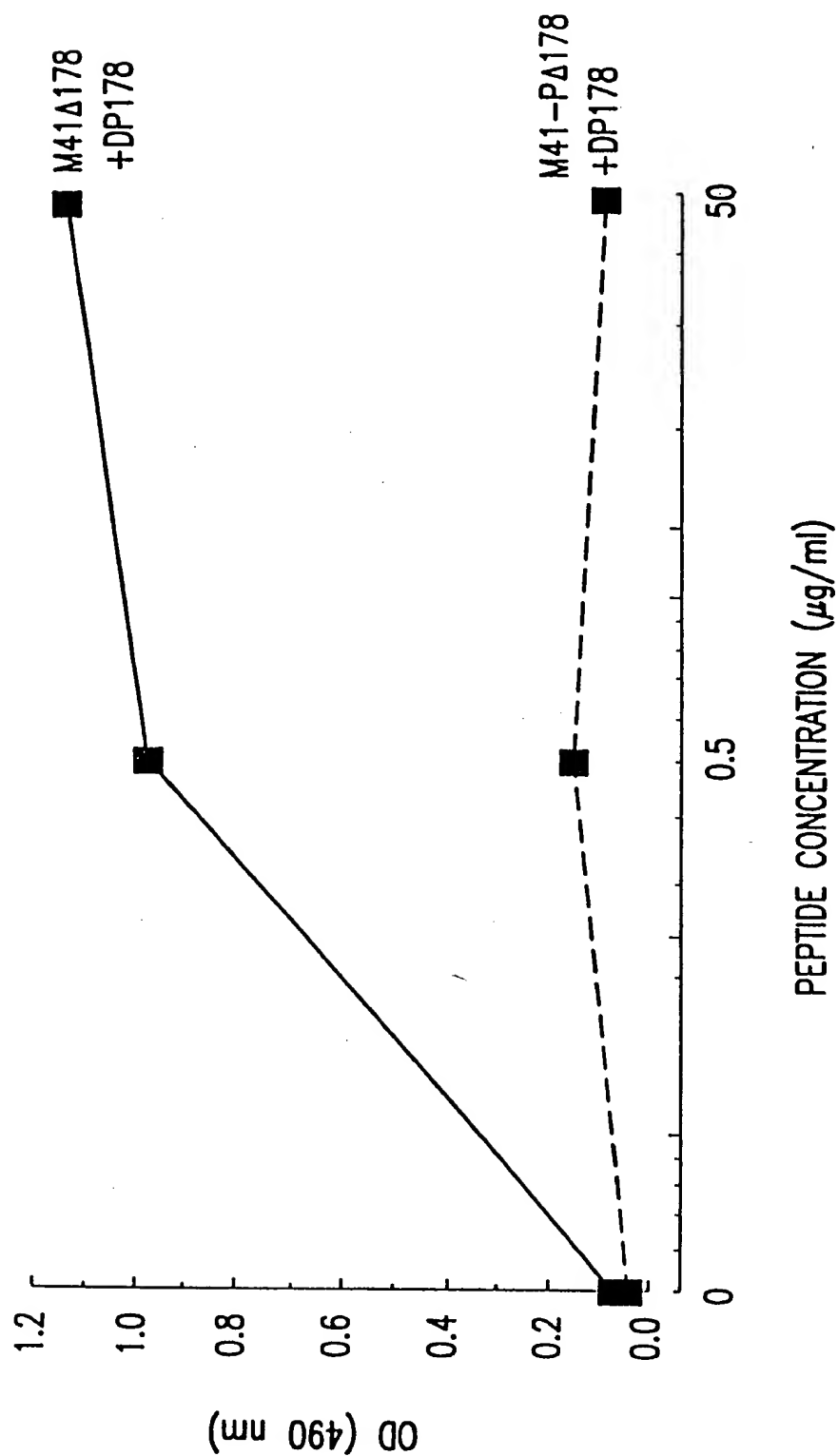


FIG.10



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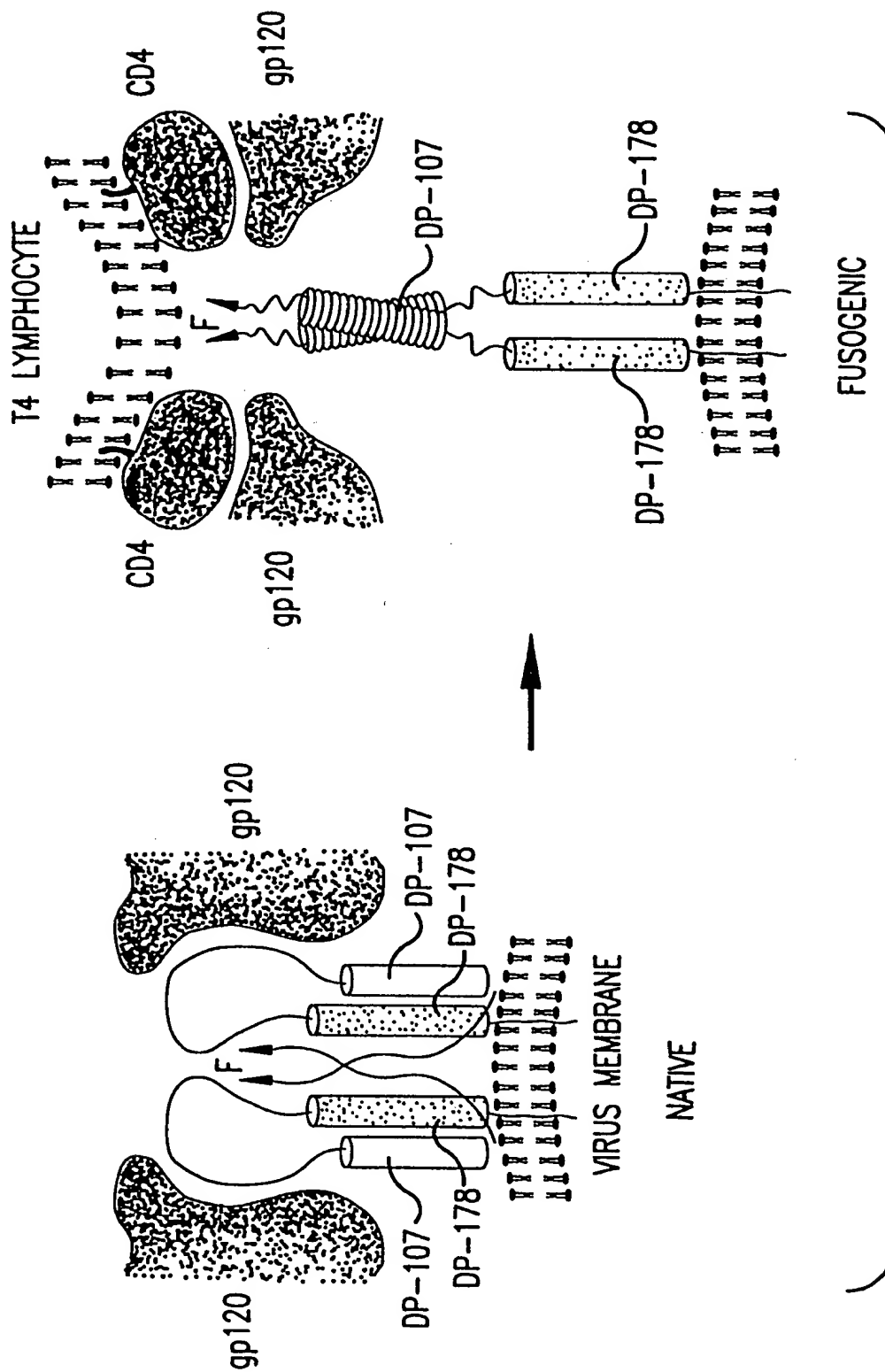


FIG.11A

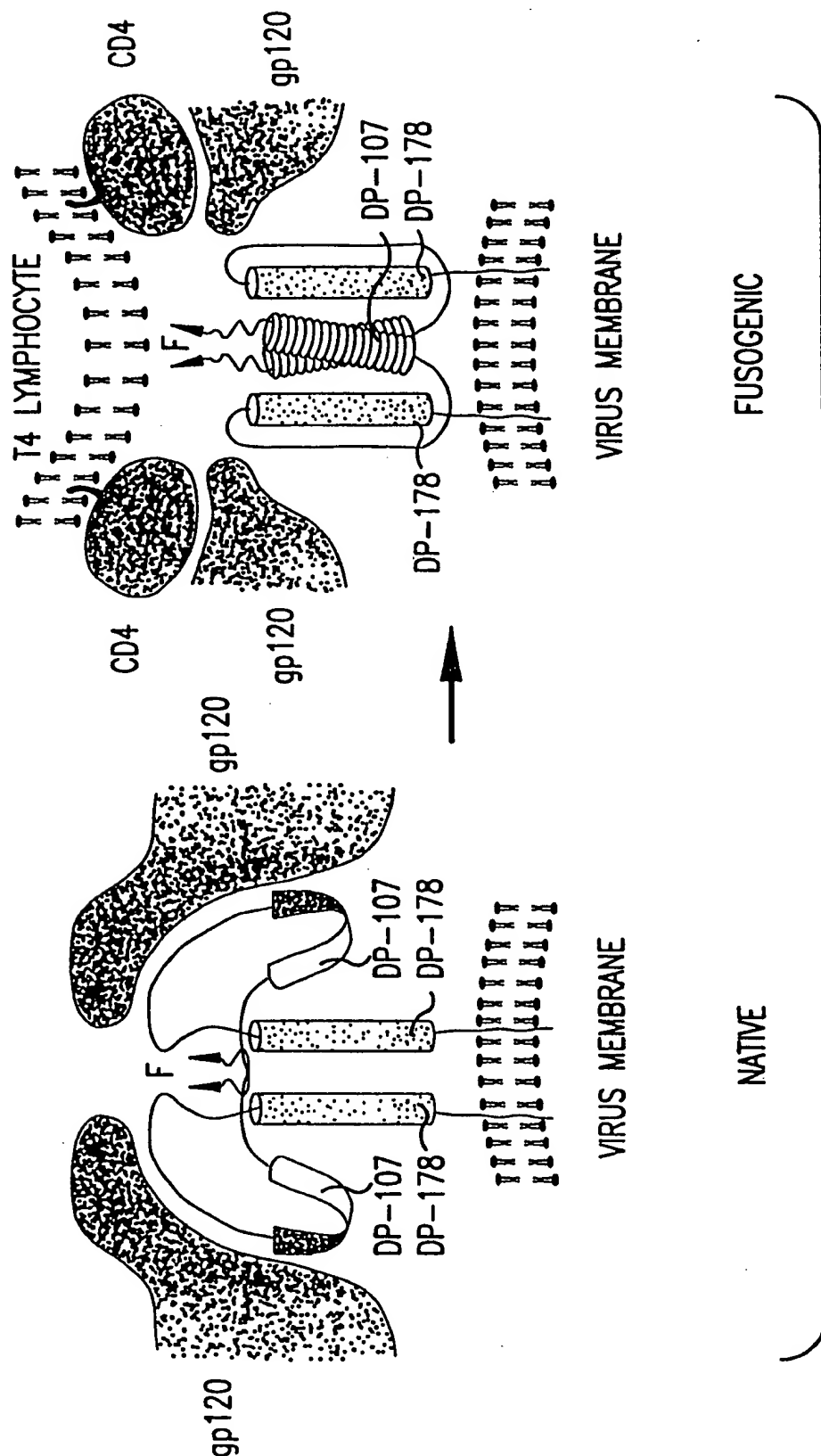


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Sequence	A	D	A	D	A	D	A	D	A	D	A	D	A	D	A	D	A	D	Motifs
GCN4 (gc4 yeast)	M	K	Q	L	E	D	K	V	E	E	L	L	S	K	N	A	Y	H	[LMNV] {CFGIMPTW}
C-FOS (fos_human)	T	D	T	L	Q	A	E	T	D	Q	L	L	E	D	E	K	S	A	[IKLT] {CFGIMPRWY}
C-JUN (lap1_human)	I	A	R	L	E	E	K	V	K	T	L	L	K	A	Q	N	S	E	[AILNV] {CDFGHILPWY}
C-MYC (myo_human)	E	Q	K	L	I	S	E	E	D	L	L	L	E	K	R	E	Q	L	[ELR] {ACFGIPWY}
FLU LOOP 36	I	E	K	T	N	E	K	F	H	Q	I	E	K	E	F	S	E	V	[FILTV] {ACFLMPTW}

FIG.12



Docket No.: 7872-027-999

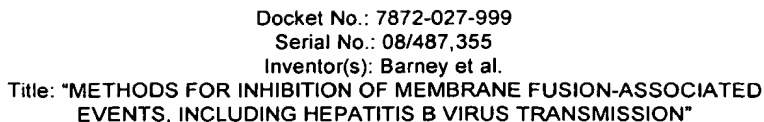
Serial No.: 08/487,355

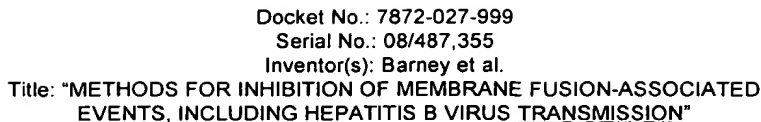
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Sequence	Positions												Motifs
	A	D	A	D	A	D	A	D	A	D	A	D	
DP-107 (env_hv1bru) L1=D	N	N	L	L	R	A	I	E	A	Q	H	L	[ILQT] {CFIMPSTY}
DP-107 (env_hv1bru) L1=D	N	N	L	L	R	A	I	E	A	Q	H	L	[ILQTV] {CDFIMPST}
DP-107 (env_hv1bru) L1=D	N	N	L	L	R	A	I	E	A	Q	H	L	[ILQTV] {CDFIMPST}
DP-107 (env_hv1bru) L2=D	N	N	L	L	R	A	I	E	A	Q	H	L	[EKLNV] {CDFKMPSTY}
DP-107 (env_hv1bru) L2=D	N	N	L	L	R	A	I	E	A	Q	H	L	[EKLNV] {CFKMPST}
DP-107 (env_hv1bru) L2=D	N	N	L	L	R	A	I	E	A	Q	H	L	[EKLNV] {CFKMPST}
DP-178 (env_hv1bru) Y1=A	Y	T	S	L	I	H	S	L	I	E	E	S	[EKLOY] {ACFGMPRWY}
DP-178 (env_hv1bru) Y1=A	Y	T	S	L	I	H	S	L	I	E	E	S	[EKLOWY] {CFGMPRVY}
DP-178 (env_hv1bru) Y1=A	Y	T	S	L	I	H	S	L	I	E	E	S	[EFKLOWY] {CFGMPRVY}
DP-178 (env_hv1bru) Y1=D	Y	T	S	L	I	H	S	L	I	E	E	S	[EILNQSY] {ACFGMPRWY}
DP-178 (env_hv1bru) Y1=D	Y	T	S	L	I	H	S	L	I	E	E	S	[EILNQSWY] {CFGMPRVY}
DP-178 (env_hv1bru) Y1=D	Y	T	S	L	I	H	S	L	I	E	E	S	[EFILNQSWY] {CFGMPRVY}

FIG.13





516





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Sequence	Positions												Parent Motif	Hybrid Motif
	A	D	A	D	A	D	A	D	A	D	A	D		
DP-107 (env_hv1bru) L1=D	N	N	L	L	R	A	I	E	A	Q	H	L	{ILOTV} {CDFIMPST}	
DP-107 (env_hv1bru) L2=D	N	N	L	L	R	A	I	E	A	Q	H	L	{EKLQV} {CFKAPS}	
DP-178 (env_hv1bru) Y1=A	Y	T	S	L	I	H	S	L	I	E	S	Q	{EFKLQWY} {CFGAPRWY}	
DP-178 (env_hv1bru) Y1=D													{EFILNDSWY} {CFGAPRWY}	{EFIKLNDSTWY} {CFMP}
FLU LOOP 36	I	E	K	T	N	E	K	F	H	Q	I	E	{FILTV} {ACFLNPTWY}	

FIG.16



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Sequence	Positions												Parent Motif	Hybrid Motif
	A	D	A	D	A	D	A	D	A	D	A	D		
GCN4 (gcn4 yeast)	MKQL	EDKVEEL	LSKN	YHL	ENEV	ARL	KKL						[LMNV] {CFGIMP <sup>TH</sup> }	
DP-107 (env_hv1bru) L1=D	NNL	LRAIEAQ	QHLL	QLT	VWGI	KQL	QAR	ILAV	ERYL	KDQ			[ILQTV] {CDFIMP <sup>ST</sup> }	
DP-178 (env_hv1bru) Y1=A	YTS	LSL	IEESQ	QNEQ	EL	LDK	WASL	WNWF					[EFKLQWY] {CFGMPRVY}	[EFKLQWTVWY] {CFMP}
GCN4 (gcn4 yeast)	MKQL	EDKVEEL	LSKN	YHL	ENEV	ARL	KKL						[LMNV] {CFGIMP <sup>TH</sup> }	
DP-107 (env_hv1bru) L1=D	NNL	LRAIEAQ	QHLL	QLT	VWGI	KQL	QAR	ILAV	ERYL	KDQ			[ILQTV] {CDFIMP <sup>ST</sup> }	
DP-178 (env_hv1bru) Y1=D	YTS	LSL	IEESQ	QNEQ	EL	LDK	WASL	WNWF					[EFILNDSWY] {CFGMPRVY}	[EFFILNQRSTWY] {CFMP}
GCN4 (gcn4 yeast)	MKQL	EDKVEEL	LSKN	YHL	ENEV	ARL	KKL						[LMNV] {CFGIMP <sup>TH</sup> }	
DP-107 (env_hv1bru) L2=D	NNL	LRAIEAQ	QHLL	QLT	VWGI	KQL	QAR	ILAV	ERYL	KDQ			[EKLQWY] {CFGKPS}	
DP-178 (env_hv1bru) Y1=A	YTS	LSL	IEESQ	QNEQ	EL	LDK	WASL	WNWF					[EFKLQWY] {CFGMPRVY}	[EEKLQWTVWY] {CFMP}
GCN4 (gcn4 yeast)	MKQL	EDKVEEL	LSKN	YHL	ENEV	ARL	KKL						[LMNV] {CFGIMP <sup>TH</sup> }	
DP-107 (env_hv1bru) L2=D	NNL	LRAIEAQ	QHLL	QLT	VWGI	KQL	QAR	ILAV	ERYL	KDQ			[EKLQWY] {CFGKPS}	
DP-178 (env_hv1bru) Y1=D	YTS	LSL	IEESQ	QNEQ	EL	LDK	WASL	WNWF					[EFILNDSWY] {CFGMPRVY}	[EFFILNQRSTWY] {CFMP}

FIG. 17



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Sequence	A	D	A	D	A	D	A	D	A	D	A	D	A	D	A	D	A	D	A	D	Parent Motif	Hybrid Motif																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																						
GCN4 (gcn4 yeast)	M	K	Q	L	E	D	K	V	E	E	L	S	K	N	Y	H	L	E	N	E	V	A	R	L	K	K	L	[L M V] {C F G I M P T W}																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																
DP-107 (env_hv1bru)L1=D	N	N	L	L	R	A	I	E	A	Q	H	L	L	Q	L	T	V	W	G	I	K	Q	L	Q	A	R	I	L	A	V	E	R	Y	L	K	D	Q																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																							
DP-107 (env_hv1bru)L2=D	N	N	L	L	R	A	I	E	A	Q	H	L	L	Q	L	T	V	W	G	I	K	Q	L	Q	A	R	I	L	A	V	E	R	Y	L	K	D	Q																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																							
DP-178 (env_hv1bru)Y1=A	Y	T	S	L	I	H	S	L	I	E	S	Q	N	Q	Q	E	K	N	E	Q	E	L	L	E	L	D	K	W	A	S	L	W	N	W	F																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																									
DP-178 (env_hv1bru)Y1=D					Y	T	S	L	I	H	S	L	I	E	S	Q	N	Q	Q	E	K	N	E	Q	E	L	L	D	K	W	A	S	L	W	N	W	F																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																							
C-FOS (fos_human)	T	D	T	L	Q	A	E	T	D	Q	L	E	D	E	K	S	A	L	Q	T	E	I	A	N	L	L	K	E	[I K L T] {C F G H I M P R V W Y}																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																															
C-JUN (tap1_human)	I	A	R	L	E	E	K	V	K	T	L	K	A	Q	N	S	E	L	A	S	T	A	N	M	L	R	E	Q	[A I L W] {C D F G H I L P W Y}																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																															
C-MYC (myo_human)	E	Q	K	L	I	S	E	E	D	L	L	E	K	R	R	E	Q	L	K	H	K	L	E	Q	L	R	N	S	[E L R] {A C F G I P W Y}																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																															
FLU LOOP 36	I	E	K	T	N	E	K	F	H	Q	I	E	K	E	F	S	E	V	E	G	R	I	Q	D	L	E	K	Y	[F I L T V] {A C F L M P T W}	[A E F I K L M A Q R S T W Y] {C F P}																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																														

FIG.18



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P-[LIV]-{P}(6)-[LIV]-{P}(6)-[LIV]  
P-{P}(1)-[LIV]-{P}(6)-[LIV]-{P}(6)-[LIV]  
P-{P}(2)-[LIV]-{P}(6)-[LIV]-{P}(6)-[LIV]  
P-{P}(3)-[LIV]-{P}(6)-[LIV]-{P}(6)-[LIV]  
P-{P}(4)-[LIV]-{P}(6)-[LIV]-{P}(6)-[LIV]  
P-{P}(5)-[LIV]-{P}(6)-[LIV]-{P}(6)-[LIV]  
P-{P}(6)-[LIV]-{P}(6)-[LIV]-{P}(6)-[LIV]  
P-{P}(7)-[LIV]-{P}(6)-[LIV]-{P}(6)-[LIV]  
P-{P}(8)-[LIV]-{P}(6)-[LIV]-{P}(6)-[LIV]  
P-{P}(9)-[LIV]-{P}(6)-[LIV]-{P}(6)-[LIV]  
P-{P}(10)-[LIV]-{P}(6)-[LIV]-{P}(6)-[LIV]  
P-X(1,12)-[LIV]-{P}(6)-[LIV]-{P}(6)-[LIV]  
P-X(13,23)-[LIV]-{P}(6)-[LIV]-{P}(6)-[LIV]

FIG.19



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Fusion                    ♡ ALLMOTIS ♡  
Peptide                    ♣ 107x178x4 ♣  
♡.....ELGELG    A AGSTMGARSM TLTVQARQ   ♣ LLSGIVQQQ   DP107-NNL

LRAIEAQOHL LOLTVWGIKO LOARILAYER YLKDO-DP107   QLLG ♣ ♡ I WGC

                                 ♣ 107x178x4 ♣  
                                 ♡ ALLMOTIS ♡                    \*LVS Coiled-Coil\*  
SGKLICT TAVP ♡ WNASWS NKSLEQIWNN MTWM \*E ♣ WDREINN   DP178-

YTSLIHSL IEESONQOEK NEOELLELDK\*   WASLWNWT-DP178   NI

                         ♣ Transmembrane Region ♣  
TNWLWYIK ♣ ♣ IFIMIVGGLVGLRIVEAVLSIV   NRVQRGYS ♡ PL

                         ♣ P23LZIPC ♣  
SFQTHLPTPR GPDR ♣ PEGIEE EGGERDRDRS IRLVNGSLAL IWDDLRS� ♣ CL

♡ ALLMOTIS ♡                    ♣ 107x178x4 ♣  
F ♡ SYHRLRDLL LIVTRIVELL GRGW ♣ EALKY WYNLLQYWSQ

ELKNSAYSLL NAT ♣   AIAVAEG TDRVIEVVQG A ♡   CRAIRHIPR

RIRQGLERIL L

FIG. 20



Fusion                    ♡ ALLMOTIS ♡  
Peptide                    ♣ 107x178x4 ♣  
♡.....ELGEL      LGVGS AIAS GVA    ♣ YSKVLHL EGEVNIKSA

                                 ♣ P1&12LZIPC ♣  
LLSTNKAVYS LSNQVSVLTS KYLDLKNYID KQ ♣ ♡ LL   ♣ PIVNKQ

                                 ♣ 107x178x4 ♣  
SC ♣ SISNIETV I ♣ EEQOKNNRLLETTREESYNAG ♣ VITPVSTMLTNSSELLSL

                                 ♣ P1&12LZIPC ♣  
                                 ♡ ALLMOTIS ♡  
INDM ♣ PI ♡ TNDQ KKLMSNNVQI V ♣ RQQSYSI ♣ MS IIKEEVLAYV

VQ ♡ LPLYGVID TPCWKLHTSP LCTTNTKEGS NICLTRTDRG WYCDNAGSVS

FFPQAETCKV QSNRVFCDTM NSLTLPSEIN LCNVDIFNPK

YDCKIMTSKT DVSSSVITSL GAIVSCYGKT KCTASNKNRG

IIKTFSNGCDYVSNKGMDTV SVGNTLYYVN KQEGKSLYVK G

                                 ♣ P7, 12, & 23LZIPC ♣  
                                 ♣ 107x178x4 ♣                    ♡ ALLMOTIS ♡  
EPIINFYDPLVF ♣ PSDE ♣ EDASISQVNEKINQSLAF ♡ I ♣ RKSDELL ♣

                                 ♣ Transmembrane Region ♣  
INYNNA ♣ GK STTN ♣ IMITTUUVIIVILLS LIAVGLLLY ♡ C ♣

KARSTPVTLS KDQLSGINNI AFSN

FIG. 21



Docket No.: 7872-027-999

Serial No.: 08/487,355

Inventor(s): Barney et al.

Title: "METHODS FOR INHIBITION OF MEMBRANE FUSION-ASSOCIATED  
EVENTS, INCLUDING HEPATITIS B VIRUS TRANSMISSION"

Fusion  
Peptide      ♥ALLMOTIS♥      ♠107x178x4♠  
.....ELGELG      ♥AAGTA MGAAA      ♠TALTYOSQHLLAGILQQOKNLLAAV

♠107x178x4♠  
EAQ♠ QQM ♠LKLTIWGVKNLNARVTALEKYLEDOARLN♠ AWG♥ CA

\*LVS Coiled-Coil\*  
♥ALLMOTIS♥      ♠107x178x4♠  
WKQVCHTTVP WQWNNRTPDW ♥NNMT \*WLE ♠WEROISYLEGNIT

♠107x178x4♠  
TOLEEARAQEEKNLD♠ AXQKLSS\* WSDFWSW♥ FDF ♠SKWLN ♦ILK

♦Transmembrane Region♦  
IGELDYLGIGLRLLYTY♦ XS♠ CIARVRQGYSPSPQHHP WKGQPDNAEG

PGEGGDKRKN SSEPWQKESG TAEWKSNEWCK RLTNWCSISS IWL YNS

♥ALLMOTIS♥  
♥CLTL LVHLRSAFQY IQYGLGELKA AAQEAVVALA RLAQNAGYQIWL♥

ACRSAYRA IINSPRRVRQ GLEGILN

FIG. 22



Fusion Peptide      ♡ALLMOTI5♡      ♣107x178x4♣  
.....EAG      ♡VYL      AGVALGVATA AQITAGIALHQ      ♣\*LVS Coiled-Coil\*  
   ♣\*SNLNAQAIQ

SLRTSLEQSNKAIEEIREATOETVIA\* YQGVQDY♣ VNNEL♡ VP

   ♡ALLMOTI5♡  
   ♣107x178x4♣  
   ♣P6 & 12LZIPC♣  
AMQHMSCELVGQRLGLRLLRYYTELLSIFGPSLRD ♣PISA ♣♡EISIQALIYAL

GGEHKKLEKLGYSGSD♣ MAILES RGIKTKI♡ THVDLP GKF ILSISY

♣P1 & 12LZIPC♣  
♣PTLSEVKGVIVHRLEAV♣ SYNIGSQEWYTTVPRYIATNGYLISNFDDESSCVFVS

ESAICSQNSL YPMSPLLQQC IRGDTSSCAR TLVSGTMGNK FILSKGNIVA

NCASILCKCY STSTIINQSP DKLLTFIASD TCPLVEIDGA TIQVGGRQYP

                                 ♣LVS Coiled-Coil\*  
                                 ♡ALLMOTI5♡  
                 ♣P12 & 23LZIPC♣  
DMVYEGKVAL G ♣PAISLD ♡RL\*DVGTNLGNALKKLDDAKVLI♣

                 ♣Transmembrane Region♣  
DSS+ NQILETVRRS♡\* SFN      ♣EGSLLSYPILSC TAL ALLLLIYCC♣

K RRYQQTLKQH TKVDPAFKPD LTGTSKSYVR SL

FIG. 23





Docket No.: 7872-027-999

Serial No.: 08/487,355

Inventor(s): Barney et al.

Title: "METHODS FOR INHIBITION OF MEMBRANE FUSION-ASSOCIATED  
EVENTS, INCLUDING HEPATITIS B VIRUS TRANSMISSION"

Fusion ♥ ALLMOTIS ♥  
Peptide ♣ 107x178x4 ♣  
♥ ..... EIGAI IGSVALGVA TAAQITAASA LIQANQNAAN ♣ ILRLKESITA

TIEAVHEYTDGLSQLAVA ♣ VG KM ♥ QQFVNDQFNNTAQELDCIKITQQV

♥ ALLMOTIS ♥  
GVELNLYLTELT TV FGPQITSPAL ♥ TQLTIQALYNAGGNMDYLLTKLGVG

♣ P1 & 12LZIPC ♣  
NNQLSSLIGSGLIT GN ♥ ♣ P1LYDSQT QLLGIQVTLP SVGNLNNMRATYLET

LSVST TKG FASALVP KVV TQVG SVI EELDTSYCIE TDL DLYCTRI VTFPMSPGIY

SCLNGNTSAC MYSKTEGALT TPYMTLKGSV IANCKMTTCR CADPPGHSQ

♥ ALLMOTIS ♥  
♣ 107x178x4 ♣  
NYGEAVSLID RHSCN ♣ ♥ VLSLD GITRLSGEF DATYQKNISI LDSQVIVTG

\*LVS Coiled-Coil\*  
\*NLDISTELGNY NNSISNALDK LEESNSKLDK VNVKLTSTSA ♣ Trans-  
♣ LIT\* YIA

membrane Region ♣  
LT AISLVCGILSLV ♥ ♣ LACYLMY ♣ KQKAQQKTLLWLGNNNTLGQMRATTKM

FIG. 24



Fusion                    ♡ALLMOTIS♡  
Peptide           ♣107x178x4♣    \*LVS Coiled-Coil\*  
.....EEGGY    ♣IG ♡TIALG \*YATSAQITAAVALVEAKQARSDIEKLKE

AIRDTNKAVQSVQSSIGNLIVAIKSVQ\* DYVNKE♡♣ IVPSIARLGCEAAG

                 ♡ALLMOTIS♡  
                 ♣107x178x4♣  
LQLGIALTQH ♣♡YSELTNIEGDNIGSLOEKGIKLOGIASLYRTNTE♡♣

                                 ♣P5 & 12LZIPC♣  
IFTTSTVDKYDIYDLLFTESIKVRVIDVDLNDYSITLQVRL ♣PLLTRLNTQIYR

VDSISYNI♣ QNREWYI♣ PLPSHIMTKGAFLGGADVKECIEAFSSYIC

PSDPGFVLNHEMESCLSGNISQCPRTVVKSDIVPRYAFVNGGGVVANCITT

TCTCNGIGNRINQPPDQGVKIITHKECNTIGINGMLFNTNKEGTLAFYTP

                         ♡ALLMOTIS♡  
                 ♣107x178x4♣  
                 ♣P6 & 23LZIPC♣  
NDITLNNSVALD ♣PIDI ♣SIELN ♡KAKSDLEESKEWI♣ RRSNOKL♣

                 ♣Transmembrane Region♣  
DSIGNWHQSSTI ♣IIIV♣ LIMIIILFIINVTII♣ IIAVKYY♡ R  
IQKRNRVDQN DKPYVLTNK

FIG. 25



Docket No.: 7872-027-999

Serial No.: 08/487,355

Inventor(s): Barney et al.

Title: "METHODS FOR INHIBITION OF MEMBRANE FUSION-ASSOCIATED  
EVENTS, INCLUDING HEPATITIS B VIRUS TRANSMISSION"

Fusion

Peptide

.....GLEGAI AGFIENGWEGMIDGWYGFRIHQNSEGTG

♣107x178x4♣

♥ALLMOTIS♥

\*LVS Coiled-Coil\*

\*Q ♥AADLKST ♣QAADQINGKLNRYIEKTNEKTHQIEKEESEYEGRIQ

DLEKYVEDTKIDL\* WSYNAELLYVALENQHTI♣ DLT♥ DSEMKNKLFETR

RQLRENAEEMGNGCFKIYHKCDNACIESIRNGTYDHDVYRDEALNNRFQIKG

VELKSGYKDWILWISFAISCFLLCVVLLGFIMWACQRCNIRCNICI

FIG. 26

[illegible]

**FIG. 27A**



Docket No.: 7872-027-999

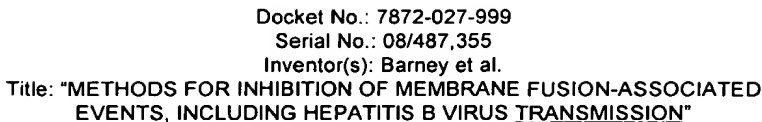
Serial No.: 08/487,355

Inventor(s): Barney et al.

Title: "METHODS FOR INHIBITION OF MEMBRANE FUSION-ASSOCIATED  
EVENTS, INCLUDING HEPATITIS B VIRUS TRANSMISSION"

		FUSION ARRAY	
		PURIFIED	
		IC50 (XTT)	
	RSV F2	AV	CD
	T-142	++	++
	T-143	++	+++
	T-144	+	++
	T-145	++	+
	T-146	-	-
	T-147	-	-
	T-148	-	-
	T-149	-	-
	T-150	-	+
	T-151	+/-	+
	T-152	+/-	++
	T-153	-	+
	T-154	+/-	++
	T-155	-	+

FIG.27B

[illegible]



Docket No.: 7872-027-999

Serial No.: 08/487,355

Inventor(s): Barney et al.

Title: "METHODS FOR INHIBITION OF MEMBRANE FUSION-ASSOCIATED  
EVENTS, INCLUDING HEPATITIS B VIRUS TRANSMISSION"

RSV DP-107-LIKE REGION (F1)																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																																
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FIG.27D



Docket No.: 7872-027-999

Serial No.: 08/487,355

Inventor(s): Barney et al.

Title: "METHODS FOR INHIBITION OF MEMBRANE FUSION-ASSOCIATED  
EVENTS, INCLUDING HEPATITIS B VIRUS TRANSMISSION"

			FUSION ASSAY	
			PURIFIED	
	RSV	AV	IC50 XTT ( $\mu$ g/ml)	CD
	F-107	-	204	-
	T-120	-	354	-
	T-121	-	347	-
	T-122	+/-	126	-
	T-123	+	95	-
	T-124	+	84	-
	T-125	+	89	-
	T-126	+	89	-
	T-127	-	206	-
	T-128	-	343	-
	T-129	-	177	-
	T-130	+/-	118	-
	T-131	-	272	-
	T-132	+/-	307	-
	T-133	+	187	-
	T-134	+	60	-
	T-135	-	194	-
	T-136	+	99	-
	T-137	++	38	-
	T-138	+	86	+/-
	T-139	-	160	+/-
	T-140	-	204	+/-
	T-141	-		

FIG.27E





Docket No.: 7872-027-999

Serial No.: 08/487,355

Inventor(s): Barney et al.

Title: "METHODS FOR INHIBITION OF MEMBRANE FUSION-ASSOCIATED  
EVENTS, INCLUDING HEPATITIS B VIRUS TRANSMISSION"

RSV	PEPTIDE#	AVG. IC50 (XTT) µg/ml
T-12	VVSLSNGVSVLTSTSKVLDLKNYIDKQLL	>500
T-13	LLSTNKAVVVSLSNGVSVLTSTSKVLDLKNY	>500
T-15	VLHLEGEVVKIKSALLSTNKAVVVSLSNG	>500
T-19	LLSTNKAVVVSLSNGVSVLTSTSKVLDLKNY	>500
T-28	ASGVAVSKVLHLHLEGEVVKIKSALLSTNKAVVVSLSNGV	>500
T-29	SGVAVSKVLHLHLEGEVVKIKSALLSTNKAVVVSLSNG	327
T-30	VLHLEGEVVKIKSALLSTNKAVVVSLSNGVSVLTISK	328
T-69	VVISLSNGVSVLTSTSKVLDLKNYIDKQLL	292
T-70	VNKIKSALLSTNKAVVVSLSNGVSVLTISK	349
T-66	NDQKKLMSNNVQIVRQSSYSIMSIKEE	>500
T-576	SIISNIEITVIEFQQKNRLLLEITREFSVNAGVTTTPVS	>100

FIG.27F

[illegible]

**FIG. 28A**



Docket No.: 7872-027-999

Serial No.: 08/487,355

Inventor(s): Barney et al.

Title: "METHODS FOR INHIBITION OF MEMBRANE FUSION-ASSOCIATED  
EVENTS, INCLUDING HEPATITIS B VIRUS TRANSMISSION"

		FUSION ASSAY	
		PURIFIED	
		IC50	
RSV	AV	( $\mu\text{g/ml}$ ) (XTT)	CD
T-67	++	37	+/-
F1-178			
T-104	+	95	
T-105	+	86	
T-106	-	186	
T-107	++	20	
T-108	+++	6	
T-109	+++	8	
T-110	++	30	
T-111	+++	9	
T-112	+++	8	+/-
T-113	+++	6	+/-
T-114	+++	5	+/-
T-115	+++	6	+/-
T-116	+++	9	+/-
T-117	+++	14	+/-
T-118	+++	5	+/-
T-119	+++	6	+/-

FIG. 28B



FIG. 29A

[illegible]

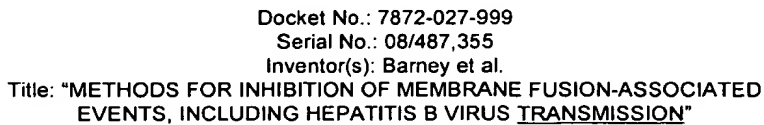


FIG. 29B

[illegible]



Docket No.: 7872-027-999

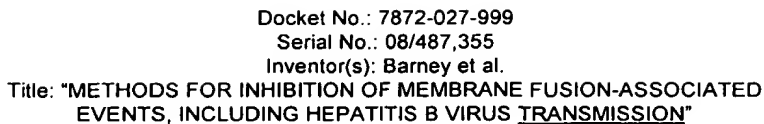
Serial No.: 08/487,355

Inventor(s): Barney et al.

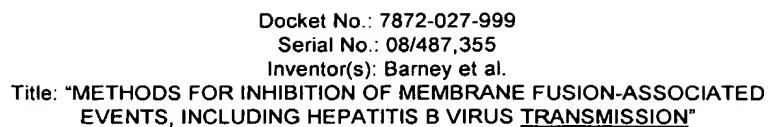
Title: "METHODS FOR INHIBITION OF MEMBRANE FUSION-ASSOCIATED  
EVENTS, INCLUDING HEPATITIS B VIRUS TRANSMISSION"

		IC50	
HPIV3 107	AV	(UG/ML)	CD
157	-	574*	+
158	-	146*	+
159	-	707*	+
160	-	536*	+
161	-	390*	+
162	-	403*	+
163	-	123*	+
164	-	512.067*	+++
165	-	742*	-
166	-	540*	-
167	-	215*	-
168	-	680*	-
169	-	137*	-
170	-	456*	-
171	-	437*	-
172	+	63*	-
173	++	30*	-
174	+	56*	++
T-40	+/-		+++
175	+/-	110*	++
176	-	197.75*	+++
177	-	350*	+
178	++	30*	+
179	-	295*	-
180	-	732*	-
181	-	929*	-
182	-	707*	-
183	-	218.50*	++
184	+	67.8*	+++
185	-	542*	-
186	-	613*	-
187	-	152*	-
188	-	669*	-

FIG.29C

[illegible]



FIG. 29

[illegible]

**FIG. 30A**



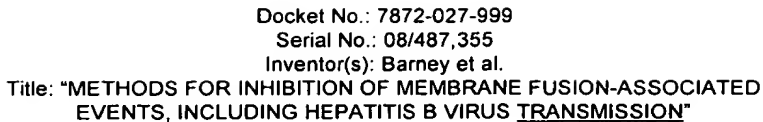
[illegible]

FIG. 30C



FUSION ♥ALLMOTIS♥  
PEPTIDE ♠107x178x4♠  
.....RNKRGVFVLGFLGFLATAGSAMGAAS ♠♥ XXXXAQSRTLLAGIVQOOQQO

LLDVYKROOELLRLTVWGTKNLOTRVTAIEKYLKDOAQL♠NAWG♥ CAF

♥ALLMOTIS♥  
\*LVS PREDICTED COILED-COIL  
RQVCHTTVPWPNASLTPDW \*NND ♥TWQEWERKVDFFLEENITALLEEAIQQ

♠107x178x4♠  
EKNMY ♠ELOKLNSWD\* VF♥ GNXXXXXXXXXXXXXXXXXXXXXXXXXXXXX♠

IYIVMLAKLRQGYRPVFSSPPSYFQXTHTQQDPALPTREGKEGDGGEGGGNSSWP  
WQIEYIHF

FIG. 31



MTRRRVL SVVLLAALACRLGAQTPEQPAPPATTVQPTATRQQTSPFRVCELSSHGDLFRFSSD

♠ 107x178x4♠

IQCPSTGTRENTHTGLLMVFKDNIIPYSF ♠ KVRSYTKIVTNILYNGWYADSVTNRHE♠

EKFSVDSY ETDQMDTIYQ CYNVAKMTKD GLTRVYVDRD GVNITVNLKP TGGLANGVRR  
YASQTELYDA PGWLIWYRT RTTVNCLITD MMAKSNSPFD FFVTTTGQTV EMSPFYDGKN  
KETFHERADS FHVRTNYKIV DYDNRGTNPQ GERRAFLDKG TYTLSWKLEN RTAYCPLQHW  
QTFDSTIATE TGKSIHFVTD EGTSSFVTNT TVGIELPDAF KCIEEQVNKT HEKYEAVQD  
RYTKGQEAIT YFITSGGLLL AWLPLTPRSL ATVKNLTELT TPTSSPPSSP SPPAPSAARG  
STPAAVLRRR RRDAGNATTP VPPTAPGKSL GTLNNPATVQ IQFAYDSLRR QINRMLGDLA  
RAWCLEQKRQ NMVLRELTKI NPTTVMSSIIY GKAVAAKRLG DVISVSQCVP VNQATVTLRK  
SMRVPGSETM CYSRPLVSFS FINDTKTYEG QLGTONEIFL TTKMTEVCQA TSQYYFQSGN

♠ 107x178x4♠

EIHVYNDYHH FKTIELDGIA TLQTFISLNT ♠ SLIENIDFASLELYSRDEQRASNVFD \*LE♠

\*LVS PREDICTED COILED COIL\* TM Potential  
GIFREYNFQAQNIAGLRKDLDNAVS\* GRNQ FVDGLGELMDSLGSVG QSITN

♣P12LZIPC♣

TM Potential TM Potential  
LVSTVGGLFSSLVSGFISF FK N ♣PFGGMLILVLVAGVVILVISL♣ TRRTRQMS

QQPVQMLYPG IDELAQQHAS GEGPGINPIS KTELQAIMLA LHEQNQEQR AAQRAAGPSV  
ASRALQAARDRFPGLRRRRY HDPETAAALL GEAETEF

FIG. 32



Docket No.: 7872-027-999

Serial No.: 08/487,355

Inventor(s): Barney et al.

Title: "METHODS FOR INHIBITION OF MEMBRANE FUSION-ASSOCIATED  
EVENTS, INCLUDING HEPATITIS B VIRUS TRANSMISSION"

MMDPNSTSED VKFTPDPYQV PFVQAFDQAT RVYQDLGGPS QAPLPCVLWP VLPEPLPQQQ  
LTAYHVSTAP TGSWFSAPQP APENAYQAYA APQLFPVSDI TQNQQTNQAG GEAPQPGDNS  
TVQTAAAVVF ACPGANQGQQ LADIGVPQPA PVAAPARRTR KPQQPESLEE CDSELEI

@DNA BINDING@	♠ <u>107x178X4</u> ♠	+DIMERIZATION+
@KRY KNRVASRKCRK	♠EK@ Q	+ <u>LLQHYREVAAAKSSENDRLRLLLKQ</u> ♠

MCPSLDVD+ SI IPRTPDVLHE DLLNF

FIG. 33



FUSION  
PEPTIDE  
FAG

♥ALLMOTI5♥

\*LVS COILED-COIL\*

♥VVLAGAALGVATAAQITAGIALHQSM\*NSOAIDNLRASLETTN

QAIEAIROAGOEMI\*LAVQGVQDYINN♥ ELIPSMNQLSCDLIGQKLGLKLLRYTT

♣P23LZIPC♣

♣P6,12LZIPC♣

♠107X178X4♠

♥ALLMOTI5♥

EILSLFGPSLRD ♣PISA ♠♥EISIQLSYALGGDINKV♣ LEKLGYSGGDL♣

♣P1,12LZIPC♣

LGILES♠ RGIKARI♥ THVDTESYFIVLSIAY ♣PTLSEIKGVIVHRLEGV♣ SY

NIGSQEWYTTVPKYVATQGYLISNFEDESSCTFMPEGTVCSQNALYPMSPLLQECL

RGSTKSCARTLVSGSFGNRFILSQGNLIANCASILCKCYTTGTIINQDPDKILTYIAA

♣P23LZIPC♣

♣P12LZIPC♣

♥ALLMOTI5♥

\*LVS COILED-COIL\*

DHCPVVEVNGVTIQVGSRRYPDAVYLHRIDLGP ♣P ♥IS \*LERLDVGTNLGN

♦TRANSMEMBRANE REGION♦

AIAKLEDAKELL♣ ESSDOI\*L♣ RSMK ♦GLSSTSIVYILI♥ AVCLGGLIGIP

ALICCC♦ RGRCNKKGEQVGMSRPGPKPDLTGTSKSYVRSL

FIG. 34





Pre S1 and Pre S2

MGQNLSTSNPLGFFPDHQLDPAFRANTANPDWDFNPNKDTWPDANKVGAGAFG

LGFTPPHGGLLGWSPQAQGILQTLPANPPPASTNRQSGRQPTPLSPPLRNTHPQAM

QWNSTTFHQTLQDPRVRGLYFPAGGSSSGTVNPVLTITASPLSSIFSRIGDPALN

MAJOR SURFACE ANTIGEN(HBs)

FUSION

PEPTIDE

♣P12 & 23LZIPC♣

MENITSG FLG ♣PLL VLQAGFLLTRILT♣ PQSLDSWWTSLNFLGGTTVCLG

♣P12 & 23LZIPC♣

QNSQSPTSNHSPTSCPPTC ♣PGYRWMCLRRFIIFLLCLIFLLVLLDYQGML♣

PVCPLIPGSSTTSTGPCRTCMTTAQGTSMYPSCCCTKPSDGNCTCIPISSWAFGKF

♦TRANSMEMBRANE REGION♦

LWEWASARFSWLS ♦LLVPFVQWFVGLSPTYWLSYI♦ WMMWYWGPSL

♦TRANSMEMBRANE REGION♦

♦YSILSPFLPLLPIFFCLWVYI♦

FIG. 35



FUSION ♥ ALLMOTIS ♥ ♠107x178x4♠  
PEPTIDE \*LVS COILED COIL  
AIQLIPLFVG LGI ♥TTAVSTGAAGLGVS ♠IT \*QYTKLSHQLISDV

QAISSTIODLODOVDSLAEVVLQ\* NRRGLDLLTAE♠ QGGI♥

CLALQEKCCFYANKSGIVRDKIKNLQDDLERRRRQLIDNPFWTSFHG

FLPYVMPLLGPLLCLLLVLSFGPIIFNKLMTFIKHQIESIQAKPIQVHYH

TRANSMEMBRANE REGION  
RLEQEDSGGSYLTLT.....????????????????????????????.....

FIG. 36



MKAQKGFTLI ELMIVVAIIG ILAAIAPGQ

♠107x178x4♠

♥ALLMOTIS♥

♠♥YODYTARTOVTRAYSEVSALKTAAESAILEGKEIVSSA♠ T♥

PK DTQYDIGFT

♠107x178x4♠

♥ALLMOTIS♥

♠♥ESTLLDGSGKSOIOVTDNODGTVELVATLGKSSGS♠ AIKGAVITSR♥

KNDGV WNCKITKTPT AWKPNYAPAN CPKS

FIG. 37



Docket No.: 7872-027-999  
Serial No.: 08/487,355  
Inventor(s): Barney et al.  
Title: "METHODS FOR INHIBITION OF MEMBRANE FUSION-ASSOCIATED  
EVENTS, INCLUDING HEPATITIS B VIRUS TRANSMISSION"

MNTLQKGFTL IELMIVIAIV GILAAVALPA YQDYTARAQV

SEAILLAEGQ KSAVTEYYLN HGIWP

♠107x178x4♠

♥ALLMOTIS♥

♠♥KDNTSAGVASSSSIKGKYVKEYKVENG VVTAT♠

MNSSNVNKEIQGKKLSLWAKRQDGSVKW♥

FCGQP VTRNAKDDTV TADATGNDGK IDTKHLPSTC RDNFDAS

FIG. 38



Docket No.: 7872-027-999

Serial No.: 08/487,355

Inventor(s): Barney et al.

Title: "METHODS FOR INHIBITION OF MEMBRANE FUSION-ASSOCIATED  
EVENTS, INCLUDING HEPATITIS B VIRUS TRANSMISSION"

MKKTLLGSLI LLAFAAGNVQA DINTETSGKV TFFGKVVENT

CKVKTEHKNL SVVLNDVGKN SLSTKVNTAM PTPFTITLQN

CDPTTANGTA NKANKVGLYF Y

♠107x178x4♠

♡ALLMOTIS♡

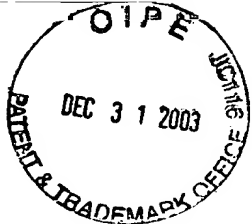
♠♡SWKNYDKENNETLKNEOTTADYATNVNI♠

QLMESNGTKAISVVGKETE♡

DF MHTNNGVAL NQTHPNNAHI SGSTQLTTGT NELPLHFIAQ

YYATNKATAG KVQSSVDFQI AYE

FIG. 39



Docket No.: 7872-027-999

Serial No.: 08/487,355

Inventor(s): Barney et al.

Title: "METHODS FOR INHIBITION OF MEMBRANE FUSION-ASSOCIATED  
EVENTS, INCLUDING HEPATITIS B VIRUS TRANSMISSION"

MNKKLLMNFF IVSPLLLATT ATDFTPVP

♠107x178x4♠

♥ALLMOTI5♥

♠♥LSSNOIKTAKASTNDNIKDLLDWYSSGSDTFTNS♠♥

EVLDNSL GSMRIKNTDG SISLIIFPSP YYSPTFTKGE KV

♠107x178x4♠

♠DLNTRTKKKSQHTSEGTYIHFOISGVT♠

N TEKLPTPIEL PLKVKVHVKD SPLKYG

♠P12LZIPC♠

♠PKFDKKQLAISTLDFEIRHQLTQI♠

HGLYRSSDKT GGYWKITMND GSTYQSDLSK KFEYNTEKPP

INIDEIKTIE AEIN

FIG. 40



♥ALLMOTIS♥  
MKKTAFILL FIALTLTTSP L ♥VNG

♠107x178x4♠  
\*LVS PREDICTED COILED-COIL\*  
\*S ♠EKSEEINEKDLRKKSELORNALSNLROIY\* YYNEKAITENKESDD♠

QFLENTLL♥ FKG FFTGHPW

♠107x178x4♠  
♠YNDLLYDLGSKDATNKYKGKKVDLYGAY♠

YGYQCAGGTPNKTACMYGGVTLHDN NRLTEEEKVP INLWIDGKQTTV

♣P12LZIPC♣  
♣PIDKVKTSKKEVTQELDL♣ QARHYLHGK FGLYNSDSFGGKVQ

♣P12LZIPC♣  
RGLIVF HSSEGSTVSY DLFDAQQQY ♣P DTLRIYRDN KTINSENLHI♣

DLYLYTT

FIG. 41



♥ALLMOTIS♥  
MKKTAFTLLL FIALTLTTSP L ♥VNGS

♠107x178x4♠  
♠EKSEEINEKDLRKKSELOGTALGNLKOIYYYNEKAKTENKESHD♠ Q♥

FLQHTILFKG FFTDHSWYND LLVDFDSKDI VDKYKGKKVDLYGAYY

GYQC AGGTPNKTAC MYGGVTLHDN NRLTEKKVPINL WLDGKQNTV

♠107x178x4♠  
♥ALLMOTIS♥  
♣P12LZIPC♣  
♣P ♥L ♠ETVKTNNKNVTVOELDLOARRYL♣ OEKYNLYN♠

SDVFDGKVQR♥ GLIVF HTSTE

♣P23LZIPC♣  
♣PSVNYDLFGAQQQYSNTLLRIYRDNKTINSENMIH♣ DIYLYTS

FIG. 42





Docket No.: 7872-027-999

Serial No.: 08/487,355

Inventor(s): Barney et al.

Title: "METHODS FOR INHIBITION OF MEMBRANE FUSION-ASSOCIATED  
EVENTS, INCLUDING HEPATITIS B VIRUS TRANSMISSION"

MKNITFIFILLASPLYANGDRLYRADSRPPDEIKRFRSLMPRGNEYFDRGT

♡ALLMOTIS♡

♡QMNINLYDHARGTQTGFVRYDDGYV

♠107x178x4♠

♠STSLSLRSAHLAQYILSGYSLTIYIVI♠ ANMFNVNDVISVY♡

SP HPYEQEVSA LGGIPYSQIYG WYRVNFGVID ERLHRNREYR

DRYYRNLNIA PAEDGYRLAG FPPDHQAWRE EPWIIHAPQG

CGDSSRTITG DTCNE

♡ALLMOTIS♡

♡ETQNLSTIYLREYQSKVKRQIFSDYQSEVDIYNRIRDEL♡

FIG. 43



MMFSGFNADY EASSSRCSSA SPAGDSLSTYY HSPADSFSSM

GSPVNAQDFC TDLAVSSANF IPTVTAISTS PDLQWLQPA

LVSSVAPSQT RAPHFPGVPA PSAGAYSRAAG VVKMTMTGGRA

QSIGRRGKVE QLSPEEEEEKR RIRRE \*LVS PREDICTED COILED-COIL\*  
\*RNKMA AAK

♠107x178x4♠

♥ALLMOTIS♥

♥CRNRRREL ♠TDTLQAETDOLEDEKSALOTEIANLLKEKEKL♥

EFILAAHR\* PACKIPDDL GFPEEMSVAS LDLTGGLPEV

ATPESEEAFT LPLLNDPEPK PSVEPVKSSIS SMELKTEPFD

DFLFPASSRP SGSETARSVP DMDLSGSFYA LPLLNDPEPK

PSVEPVKSSIS SMELKTEPFD DFLFPASSRP SGSETARSVP

DMDLSGSFYA GSSSNPSSD SLSSPTLLAL

FIG. 44



SGWESYYKTEGDDEEAEEEEQEEENLEASGDYK YSGRDSLIFLVDASKA  
MFESQSEDELTPFDMSIQCIQSVYISKIISDRDLLAVVFYGTEDKNS  
VNFKNYVLQELDNPGAKRILELDQFKGQQGQKRFQDMMGHGSDY  
SLSEVLWVCANLFSQVQFKMSHKRIMLFTNEDNPHGNDSAKASRAR  
TKAGDLRDTGIFLDMHLKKPGGFDISLFYRDIISIAEDED

♠107x178x4♠

♥ALLMOTIS♥

\*LVS PREDICTED COILED-COIL\*

♥LRVH \*FEE ♠SSKLEDLLRKVRACKETRKRAISRLKLKLNKDIV\* ISV

GIYNLVQKAL♥ KPPPIKLYRETN♠ EPVKTKTRTFNTSTGGLLLPSDTKR

SQIYGSQRQIILEKEETEELKRFDDPGLMLMGFKPLVLLKKHHLRPSLFVYPE  
ESLVIGS STLFSALLIKCLEKEVAALCRYTPRRNIPPYFVALVPQEEELDDQK  
IQVTPPGFQLVFLPFADDDRKMPFTEKIMATPEQVGKMKAIKELRFTYRS  
DSFENPVLQQHFRNLEALALDLME

♣PI2LZIPC♣

♣PEQAVDLTLPKVEAMNKRL♣ GSLVDEFKELVYPPDYNPEGKVTKR  
KHDNEGSGSKRPKVEYSEEELKTHISKGTLGKFTVPMLEACRAYGLKSG  
LKKQELLEALTKHFQD

FIG. 45



Docket No.: 7872-027-999

Serial No.: 08/487,355

Inventor(s): Barney et al.

Title: "METHODS FOR INHIBITION OF MEMBRANE FUSION-ASSOCIATED  
EVENTS, INCLUDING HEPATITIS B VIRUS TRANSMISSION"

GGGALSPQHSAVTQGSIIKNKEGMDAKS

♠107x178x4♠

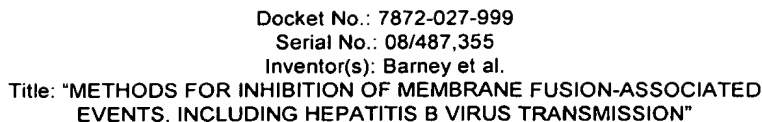
♥ALLMOTI5♥

♥♠LTAWSRTLVTFKDVFDFTREEWKLLDT♠ AQQIVYRNV  
MLENYKNLVSLGYQLT♥ KPDVILRLEKGEEPWLVEREIHQETHPD  
SETAFEIKSSVSSRSIFKDKQSCDIKMEGMARNDLWYLSLEE VWKCR  
DQLDKYQENPERHLRHQLIHTGEKPYECKECGKSFSRSSHLIGHQKT  
HTGEEPYECKECGKSFSWFSHLVTHQRTHTGDKLYTCNQCGKS FVH  
SSRLIRHQRTHTGHKPYECPECGKSFRQSTHLILHQRTHVVRVPYECN  
ECGKSYSQRSHLVVHHRIHTGLKPFECKDCGKCFSSSHLYSHQRTHT  
TGEKPYECHDCGKSFSQSSALIVHQRIHTGEKPYECCQCGKAFIRKN  
DLIKHQRIHVGAETKYCNQCGIIFSQNS

♣P23LZIPC♣

♣PFIVHQIAHTGEQFLTCGNQCGTALVNTSNLIGQTNHI♣ RENAY

FIG. 46



RESIDUE - 438	P	D	A	V	Y	L	H	R	I	D	L	G	P	P	I	S	L	E	R	L	D	V	G	T	N	L	G	N	A	I	A	K	L	E	S	S	D	Q	I	L	R	S	M	-488



Docket No.: 7872-027-999

Serial No.: 08/487,355

Inventor(s): Barney et al.

Title: "METHODS FOR INHIBITION OF MEMBRANE FUSION-ASSOCIATED  
EVENTS, INCLUDING HEPATITIS B VIRUS TRANSMISSION"

	AVERAGE	CD	
	IC50		
	-	-	
	-	-	
	-	-	
	-	-	
	-	-	
	-	-	
	1.35ug/ml	-	
	.343ug/ml	-	
	1.78ug/ml	-	
	.186ug/ml	-	
	+	-	
	.193ug/ml	-	
	1.32ug/ml	-	
	1.01ug/ml	-	
	.072ug/ml	-	
	-	-	
	+/-	-	
	+	-	

FIG.47B



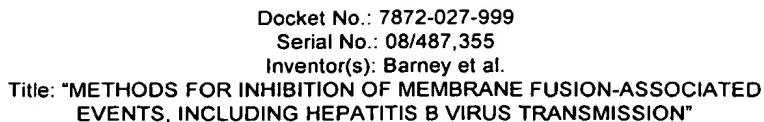
Docket No.: 7872-027-999  
Serial No.: 08/487,355  
Inventor(s): Barney et al.  
Title: "METHODS FOR INHIBITION OF MEMBRANE FUSION-ASSOCIATED  
EVENTS, INCLUDING HEPATITIS B VIRUS TRANSMISSION"





[illegible]

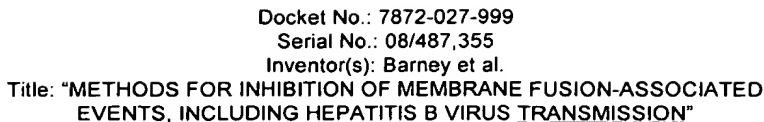
FIG. 49B

[illegible]







[illegible]



Docket No.: 7872-027-999

Serial No.: 08/487,355

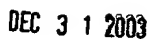
Inventor(s): Barney et al.

Title: "METHODS FOR INHIBITION OF MEMBRANE FUSION-ASSOCIATED  
EVENTS, INCLUDING HEPATITIS B VIRUS TRANSMISSION"

T220	59000
T221	16000
T234	>100000
T235	53000
T570	>100000
T381	89000
T382	190000
T677	6310
T376	>100000
T589	745000
T377	69000
T590	30290
T378	95000
T591	59000
T270	>200000
T271	16000
T272	1000
T273	>100000
T608	>100000
T609	>100000
T610	>100000
T611	70000
T612	>100000
T222	49000
T223	57000
T60/T224	77000
T225	>100000
T226	>100000
T227	>100000

FIG.49H





**Serial No.: 08/487,355**

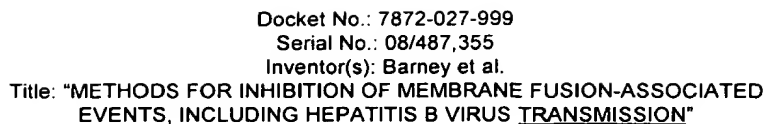
**Inventor(s):** Barney et al.

Title: "METHODS FOR INHIBITION OF MEMBRANE FUSION-ASSOCIATED EVENTS, INCLUDING HEPATITIS B VIRUS TRANSMISSION"

[illegible]

FIG. 49I

**FIG. 49J**



T99	X								Y	T	S	L	I	H	S	L	I	E	E	S
T103	X								Y	T	S	L	I	Q	S	L	I	E	E	S
T212	X								Y	T	S	L	I	H	S	L	I	E	E	S
T213	X								Y	T	S	L	I	H	S	L	I	E	E	S
T214	X								Y	T	S	L	I	H	S	L	I	E	Q	S
T215	X								Y	T	S	L	I	H	S	L	I	Q	E	S
T216	X								Y	T	S	L	I	H	S	L	I	Q	Q	S
T229	X								Y	T	S	L	I	H	S	L	I	E	E	S
T230	X								Y	T	S	L	I	H	S	L	I	E	E	S
T231	X								Y	T	S	L	I	Q	S	L	I	E	E	S
T379	X								Y	T	S	L	I	H	S	L	I	E	E	S
T701	X								Y	T	S	L	I	H	S	L	I	E	E	S
T702	X								Y	T	S	L	I	H	S	L	I	E	E	S
T703	X								Y	T	S	L	I	H	S	L	I	E	E	S
T704	X								Y	T	S	L	I	H	S	L	I	E	E	S
T705	X								Y	T	S	L	I	H	S	L	I	E	E	S
T706	X								Y	T	S	L	I	H	S	L	I	E	E	S
T156	X								L	L	D	N	F	E	S	T	W	E	Q	S
T89	X								L	L	D	N	F	E	S	T	W	E	Q	S
T90	X								L	S	N	L	L	O	I	S	N	S	D	



Docket No.: 7872-027-999

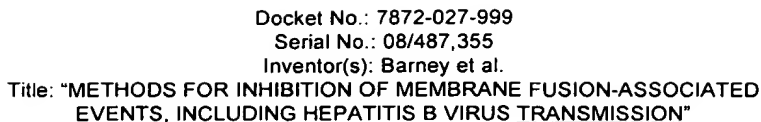
Serial No.: 08/487,355

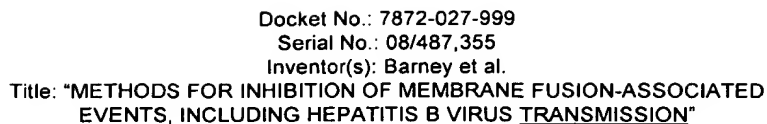
Inventor(s): Barney et al.

Title: "METHODS FOR INHIBITION OF MEMBRANE FUSION-ASSOCIATED  
EVENTS, INCLUDING HEPATITIS B VIRUS TRANSMISSION"

Q	N	Q	Q	E	K	N	Q	Q	E	L	L	Q	L	D	K	W	A	S	L	W	N	W	F	T99	56
Q	N	Q	Q	E	K	N	E	Q	E	L	L	E	L	D	K	W	A	S	L	W	N	W	F	T103	ND
Q	Q	Q	Q	E	K	N	E	Q	E	L	L	E	L	D	K	W	A	S	L	W	N	W	F	T212	3
Q	N	Q	Q	E	K	N	E	Q	E	L	L	E	L	N	K	W	A	S	L	W	N	W	F	T213	25
Q	N	Q	Q	E	K	N	E	Q	E	L	L	E	L	D	K	W	A	S	L	W	N	W	F	T214	19
Q	N	Q	Q	E	K	N	E	Q	E	L	L	E	L	D	K	W	A	S	L	W	N	W	F	T215	23
Q	N	Q	Q	Q	K	N	Q	Q	Q	L	L	Q	L	D	K	W	A	S	L	W	N	W	F	T216	1000
Q	N	Q	Q	E	K	N	E	Q	E	L	L	E	L	D	K	W	A	S	L	A	N	A	A	T229	>100000
Q	N	Q	Q	E	K	N	E	Q	Q	L	L	E	L	D	K	E	A	S	L	W	N	W	F	T230	6
Q	N	Q	Q	E	K	N	E	Q	Q	L	L	E	L	D	K	W	A	S	L	W	N	W	F	T231	4
Q	N	Q	Q	E	K	N	E	Q	E	L	L	E	L	D	K	W	A	S	L	F	N	F	F	T379	0.3
Q	N	L	Q	E	K	N	E	Q	E	L	L	E	L	D	K	W	A	S	L	W	N	W	F	T701	3
Q	N	Q	Q	E	K	L	E	Q	E	L	L	E	L	D	K	W	A	S	L	W	N	W	F	T702	36
Q	N	Q	Q	E	K	N	E	Q	E	L	L	E	F	D	K	W	A	S	L	W	N	W	F	T703	0.5
Q	N	Q	Q	E	K	N	E	Q	E	L	L	E	L	D	K	P	A	S	L	W	N	W	F	T704	510
Q	N	Q	Q	E	K	N	E	Q	E	L	L	E	L	D	K	W	A	S	P	W	N	W	F	T705	14
Q	N	Q	Q	E	K	N	E	Q	E	L	L	E	L	D	K	W	A	S	L	W	N	S	F	T706	68
K	E	L	W	E	Q	Q	E	I	S	I	Q	N	L	H	K	S	A	L	Q	E	Y	W	N	T156	80000
K	E	L	W	E	Q	Q	E	I	S	I	Q	N	L	H	K	S	A	L	Q	E	Y	W		T89	>100000
E	W	L	E	A	L	E	I	E	H	E	K	W	K	L	T	Q	W	Q	S	Y	E	Q	F	T90	>100000

FIG. 49L

[illegible]

[illegible]

[illegible]

**FIG. 51A**







Docket No.: 7872-027-999

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Inventor(s): Barney et al.

Title: "METHODS FOR INHIBITION OF MEMBRANE FUSION-ASSOCIATED  
EVENTS, INCLUDING HEPATITIS B VIRUS TRANSMISSION"

RESIDUE	197	L	Q	H	Y	R	E	V	A	A	K	S	S	E	N	D	R	L	R	L	L	K	Q	M	C	P	S	L	D	V	D	S	I	I	P	R	T	P	D	V	L	H	E	D		242		45
T-447	197	L	Q	H	Y	R	E	V	A	A	K	S	S	E	N	D	R	L	R	L	L	K	Q	M	C	P	S	L	D	V	D	S	I	I								232		35				
T-448	198	Q	H	Y	R	E	V	A	A	K	S	S	E	N	D	R	L	R	L	L	K	Q	M	C	P	S	L	D	V	D	S	I	I	P							233		35					
T-449	199	H	Y	R	E	V	A	A	K	S	S	E	N	D	R	L	R	L	L	K	Q	M	C	P	S	L	D	V	D	S	I	I	P	R							234		35					
#	200	Y	R	E	V	A	A	K	S	S	E	N	D	R	L	R	L	L	K	Q	M	C	P	S	L	D	V	D	S	I	I	P	R	T							235		35					
T-451	201	R	E	V	A	A	K	S	S	E	N	D	R	L	R	L	L	K	Q	M	C	P	S	L	D	V	D	S	I	I	P	R	T	P							236		35					
T-452	202	E	V	A	A	K	S	S	E	N	D	R	L	R	L	L	K	Q	M	C	P	S	L	D	V	D	S	I	I	P	R	T	P	D							237		35					
T-453	203	V	A	A	K	S	S	E	N	D	R	L	R	L	L	K	Q	M	C	P	S	L	D	V	D	S	I	I	P	R	T	P	D	V							238		35					
T-454	204	A	A	K	S	S	E	N	D	R	L	R	L	L	K	Q	M	C	P	S	L	D	V	D	S	I	I	P	R	T	P	D	V	L							239		35					
T-455	205	A	A	K	S	S	E	N	D	R	L	R	L	L	K	Q	M	C	P	S	L	D	V	D	S	I	I	P	R	T	P	D	V	L	H						240		35					
T-456	206	A	K	S	S	E	N	D	R	L	R	L	L	K	Q	M	C	P	S	L	D	V	D	S	I	I	P	R	T	P	D	V	L	H	E						241		35					
T-457	207	K	S	S	E	N	D	R	L	R	L	L	K	Q	M	C	P	S	L	D	V	D	S	I	I	P	R	T	P	D	V	L	H	E	D						242		35					
T-458	208	S	S	E	N	D	R	L	R	L	L	K	Q	M	C	P	S	L	D	V	D	S	I	I	P	R	T	P	D	V	L	H	E	D	L						243		35					
RESIDUE	209	S	E	N	D	R	L	R	L	L	K	Q	M	C	P	S	L	D	V	D	S	I	I	P	R	T	P	D	V	L	H	E	D	L	L	N	F						246		37			
T-459	209	S	E	N	D	R	L	R	L	L	K	Q	M	C	P	S	L	D	V	D	S	I	I	P	R	T	P	D	V	L	H	E	D	L	L									244		35		
T-460	210	E	N	D	R	L	R	L	L	K	Q	M	C	P	S	L	D	V	D	S	I	I	P	R	T	P	D	V	L	H	E	D	L	L	N									245		35		
T-461	211	N	D	R	L	R	L	L	K	Q	M	C	P	S	L	D	V	D	S	I	I	P	R	T	P	D	V	L	H	E	D	L	L	N	F									246		35		

FIG.51C



DOMAIN I:

174 P-L-L-V-L-Q-A-G-F-F-L-L-T-R-I-L-T-I-P-Q-S-L-D-S-W-W-T-S-L-N-F-L-G-G-T-T-V-C-L-G-Q-N-S-Q-S-P<sup>219</sup>

P-L-L-V-L-Q-A-G-F-F-L-L-T-R-I-L-T-I-P-Q-S-L-D-S-W-W-T-S-L-N-F-L-G-G-T  
L-L-V-L-Q-A-G-F-F-L-L-T-R-I-L-T-I-P-Q-S-L-D-S-W-W-T-S-L-N-F-L-G-G-T-T  
L-V-L-Q-A-G-F-F-L-L-T-R-I-L-T-I-P-Q-S-L-D-S-W-W-T-S-L-N-F-L-G-G-T-T-V  
V-L-Q-A-G-F-F-L-L-T-R-I-L-T-I-P-Q-S-L-D-S-W-W-T-S-L-N-F-L-G-G-T-T-V-C  
L-Q-A-G-F-F-L-L-T-R-I-L-T-I-P-Q-S-L-D-S-W-W-T-S-L-N-F-L-G-G-T-T-V-C-L  
Q-A-G-F-F-L-L-T-R-I-L-T-I-P-Q-S-L-D-S-W-W-T-S-L-N-F-L-G-G-T-T-V-C-L-G  
A-G-F-F-L-L-T-R-I-L-T-I-P-Q-S-L-D-S-W-W-T-S-L-N-F-L-G-G-T-T-V-C-L-G-Q  
G-F-F-L-L-T-R-I-L-T-I-P-Q-S-L-D-S-W-W-T-S-L-N-F-L-G-G-T-T-V-C-L-G-Q-N  
F-F-L-L-T-R-I-L-T-I-P-Q-S-L-D-S-W-W-T-S-L-N-F-L-G-G-T-T-V-C-L-G-Q-N-S  
F-L-L-T-R-I-L-T-I-P-Q-S-L-D-S-W-W-T-S-L-N-F-L-G-G-T-T-V-C-L-G-Q-N-S-Q  
L-L-T-R-I-L-T-I-P-Q-S-L-D-S-W-W-T-S-L-N-F-L-G-G-T-T-V-C-L-G-Q-N-S-Q-S

FIG.52A



DOMAIN II:

233 P-G-Y-R-W-M-C-L-R-R-F-I-I-F-L-F-I-L-L-L-C-L-I-F-L-L-V-L-L-D-Y-Q-G-M-L-P-V-C-P-L-I-P-G-S-T-T-S-T-G-P-C-R-T-C-M-T-T 290

P-G-Y-R-W-M-C-L-R-R-F-I-I-F-L-F-I-L-L-L-C-L-I-F-L-L-V-L-L-D-Y-Q-G-M-L  
G-Y-R-W-M-C-L-R-R-F-I-I-F-L-F-I-L-L-L-C-L-I-F-L-L-V-L-L-D-Y-Q-G-M-L-P  
Y-R-W-M-C-L-R-R-F-I-I-F-L-F-I-L-L-L-C-L-I-F-L-L-V-L-L-D-Y-Q-G-M-L-P-V  
R-W-M-C-L-R-R-F-I-I-F-L-F-I-L-L-L-C-L-I-F-L-L-V-L-L-D-Y-Q-G-M-L-P-V-C  
W-M-C-L-R-R-F-I-I-F-L-F-I-L-L-L-C-L-I-F-L-L-V-L-L-D-Y-Q-G-M-L-P-V-C-P  
M-C-L-R-R-F-I-I-F-L-F-I-L-L-L-C-L-I-F-L-L-V-L-L-D-Y-Q-G-M-L-P-V-C-P-L  
C-L-R-R-F-I-I-F-L-F-I-L-L-L-C-L-I-F-L-L-V-L-L-D-Y-Q-G-M-L-P-V-C-P-L-I  
L-R-R-F-I-I-F-L-F-I-L-L-L-C-L-I-F-L-L-V-L-L-D-Y-Q-G-M-L-P-V-C-P-L-I-P  
R-R-F-I-I-F-L-F-I-L-L-L-C-L-I-F-L-L-V-L-L-D-Y-Q-G-M-L-P-V-C-P-L-I-P-G  
R-F-I-I-F-L-F-I-L-L-L-C-L-I-F-L-L-V-L-L-D-Y-Q-G-M-L-P-V-C-P-L-I-P-G-S  
F-I-I-F-L-F-I-L-L-L-C-L-I-F-L-L-V-L-L-D-Y-Q-G-M-L-P-V-C-P-L-I-P-G-S  
I-I-F-L-F-I-L-L-L-C-L-I-F-L-L-V-L-L-D-Y-Q-G-M-L-P-V-C-P-L-I-P-G-S-T-T  
I-F-L-F-I-L-L-L-C-L-I-F-L-L-V-L-L-D-Y-Q-G-M-L-P-V-C-P-L-I-P-G-S-T-T-S  
F-L-F-I-L-L-L-C-L-I-F-L-L-V-L-L-D-Y-Q-G-M-L-P-V-C-P-L-I-P-G-S-T-T-S-T  
L-F-I-L-L-L-C-L-I-F-L-L-V-L-L-D-Y-Q-G-M-L-P-V-C-P-L-I-P-G-S-T-T-S-T-G  
F-I-L-L-L-C-L-I-F-L-L-V-L-L-D-Y-Q-G-M-L-P-V-C-P-L-I-P-G-S-T-T-S-T-G-P  
I-L-L-L-L-C-L-I-F-L-L-V-L-L-D-Y-Q-G-M-L-P-V-C-P-L-I-P-G-S-T-T-S-T-G-P-C  
L-L-L-C-L-I-F-L-L-V-L-L-D-Y-Q-G-M-L-P-V-C-P-L-I-P-G-S-T-T-S-T-G-P-C-R  
L-L-C-L-I-F-L-L-V-L-L-D-Y-Q-G-M-L-P-V-C-P-L-I-P-G-S-T-T-S-T-G-P-C-R-T  
L-C-L-I-F-L-L-V-L-L-D-Y-Q-G-M-L-P-V-C-P-L-I-P-G-S-S-T-T-S-T-G-P-C-R-T-C  
C-L-I-F-L-L-V-L-L-D-Y-Q-G-M-L-P-V-C-P-L-I-P-G-S-S-T-T-S-T-G-P-C-R-T-C-M  
L-I-F-L-L-V-L-L-D-Y-Q-G-M-L-P-V-C-P-L-I-P-G-S-S-T-T-S-T-G-P-C-R-T-C-M-T  
I-F-L-L-V-L-L-D-Y-Q-G-M-L-P-V-C-P-L-I-P-G-S-S-T-T-S-T-G-P-C-R-T-C-M-T-T

FIG.52B